

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal623kxg

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 09 CA/CAPplus records now contain indexing from 1907 to the  
present  
NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective  
August 1, 2003  
NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN  
NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE  
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL  
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right  
Truncation  
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR  
NEWS 10 SEP 22 DIPPR file reloaded  
NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded  
NEWS 12 SEP 29 DISSABS now available on STN  
NEWS 13 OCT 10 PCTFULL: Two new display fields added  
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced  
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced  
  
NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

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research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:37:37 ON 12 NOV 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 17:37:48 ON 12 NOV 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

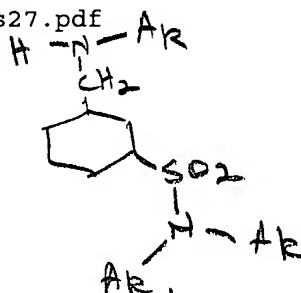
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

L1        STRUCTURE    UPLOADED



```
=> d l1
L1 HAS NO ANSWERS
L1          S
```

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

```
=> s ll sss sam
SAMPLE SEARCH INITIATED 17:38:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 990 TO ITERATE
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100.0% PROCESSED      990 ITERATIONS                2 ANSWERS
SEARCH TIME: 00.00.01
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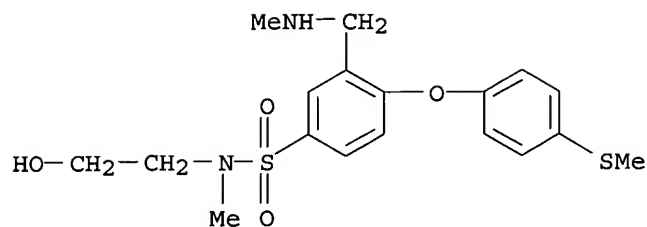
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:   17913 TO    21687
PROJECTED ANSWERS:      2 TO      124

```

L2                      2 SEA SSS SAM L1

=> d scan

```
L2 2 ANSWERS  REGISTRY  COPYRIGHT 2003 ACS on STN
IN Benzenesulfonamide, N-(2-hydroxyethyl)-N-methyl-3-[(methylamino)methyl]-4-
MF [4-(methylthio)phenoxy]-, monohydrochloride (9CI)
C18 H24 N2 O4 S2 . Cl H
```

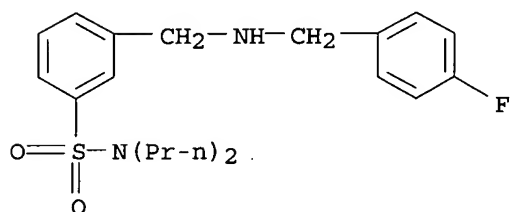


● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

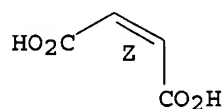
L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
 IN Benzenesulfonamide, 3-[[[(4-fluorophenyl)methyl]amino]methyl]-N,N-dipropyl-  
 , (2Z)-2-butenedioate (9CI)  
 MF C20 H27 F N2 O2 S . x C4 H4 O4

CM 1



CM 2

Double bond geometry as shown.



ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full  
 FULL SEARCH INITIATED 17:38:47 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 19556 TO ITERATE

100.0% PROCESSED 19556 ITERATIONS  
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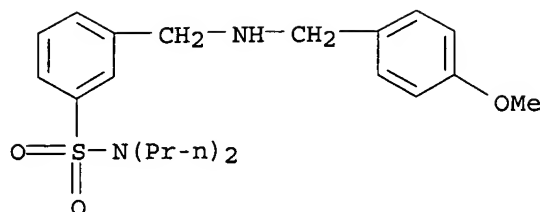
10 ANSWERS

L3 10 SEA SSS FUL L1

=> d scan

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
 IN Benzenesulfonamide, 3-[[[(4-methoxyphenyl)methyl]amino]methyl]-N,N-dipropyl- (9CI)

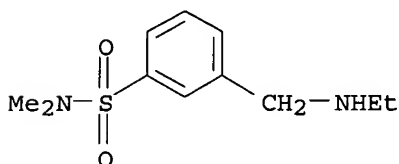
MF C21 H30 N2 O3 S  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
IN Benzenesulfonamide, 3-[(ethylamino)methyl]-N,N-dimethyl- (9CI)  
MF C11 H18 N2 O2 S

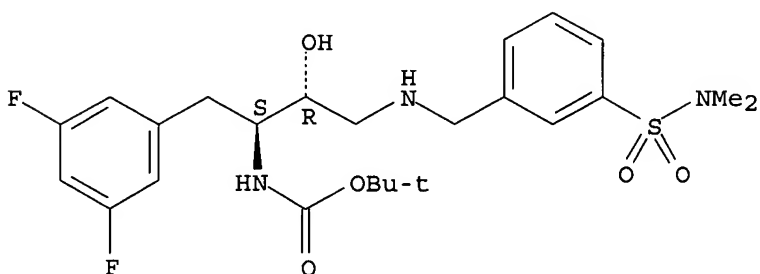


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
IN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl]methyl]amino]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI)  
MF C24 H33 F2 N3 O5 S

Absolute stereochemistry.

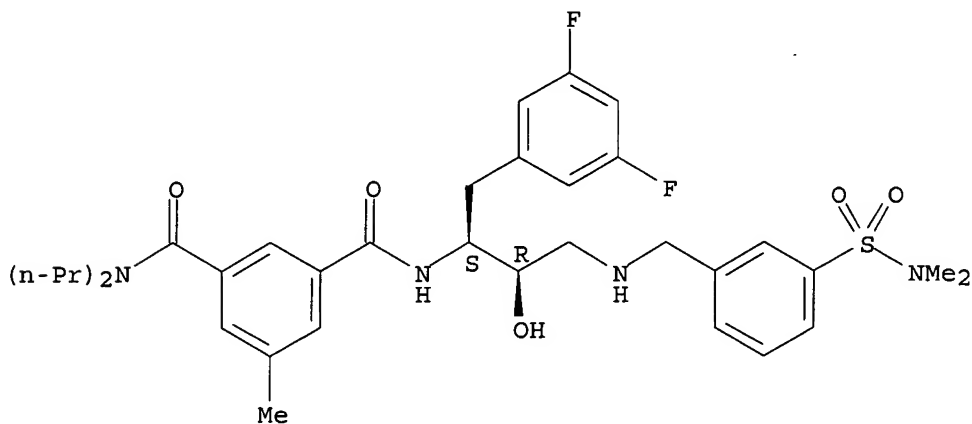


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
IN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-  
[[[3-[(dimethylamino)sulfonyl]phenyl)methyl]amino]-2-hydroxypropyl]-5-  
methyl-N,N-dipropyl- (9CI)  
MF C34 H44 F2 N4 O5 S

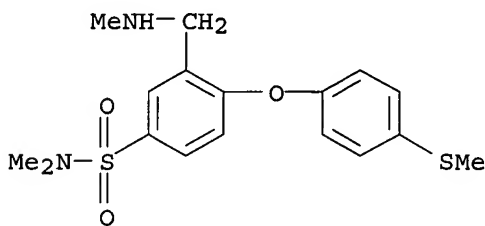
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

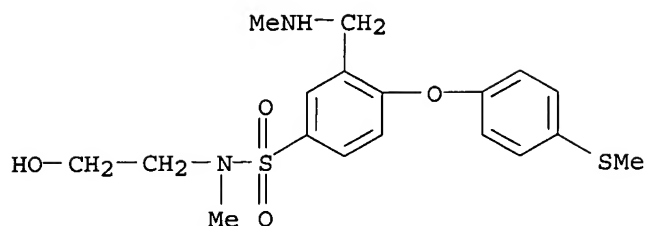
L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
IN Benzenesulfonamide, N,N-dimethyl-3-[(methylamino)methyl]-4-[4-  
(methylthio)phenoxy]-, monohydrochloride (9CI)  
MF C17 H22 N2 O3 S2 . Cl H



● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

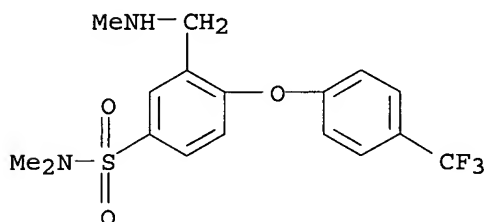
L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
IN Benzenesulfonamide, N-(2-hydroxyethyl)-N-methyl-3-[(methylamino)methyl]-4-  
[4-(methylthio)phenoxy]-, monohydrochloride (9CI)  
MF C18 H24 N2 O4 S2 . Cl H



● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
 IN Benzenesulfonamide, N,N-dimethyl-3-[(methylamino)methyl]-4-[4-(trifluoromethyl)phenoxy]- (9CI)  
 MF C17 H19 F3 N2 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s 13/PREP

'PREP' IS NOT A VALID CROSSOVER QUALIFIER FOR L3

Answer sets created in a different file may be field qualified with a limited set of qualifiers. Enter HELP CROSSOVER at an arrow prompt (=>) for specific information.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

149.35

149.56

FILE 'CAPLUS' ENTERED AT 17:40:01 ON 12 NOV 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 12 Nov 2003 VOL 139 ISS 20  
FILE LAST UPDATED: 11 Nov 2003 (20031111/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3/PREP and l3/THU  
6 L3  
3074300 PREP/RL  
5 L3/PREP  
(L3 (L) PREP/RL)  
6 L3  
549364 THU/RL  
5 L3/THU  
(L3 (L) THU/RL)  
L4 5 L3/PREP AND L3/THU

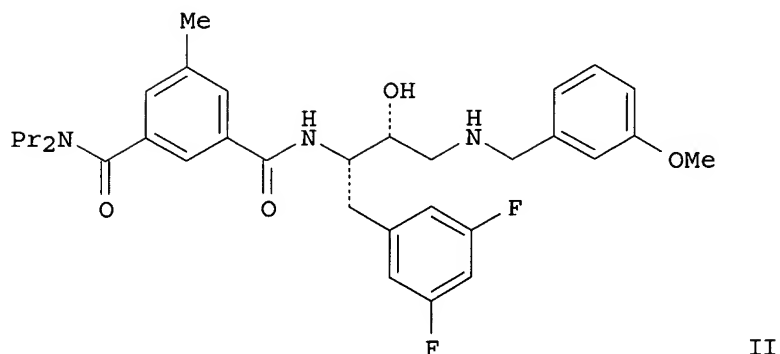
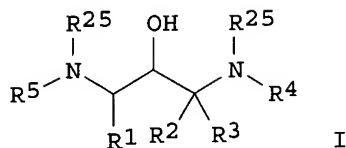
=> dis l4 1-5 bib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN  
AN 2003:412801 CAPLUS  
DN 139:245782  
TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease  
IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy  
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company  
SO PCT Int. Appl., 1243 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	WO 2003040096	A2	20030515	WO 2002-US36072	20021108
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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PRAI	US 2001-337122P	P	20011108		

US 2001-344086P P 20011228  
 US 2002-345635P P 20020103  
 WO 2002-US36072 A 20021108

GI



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO<sub>2</sub>, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO<sub>2</sub>, (un)substituted CH<sub>2</sub>; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of .beta.-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepd. E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC<sub>50</sub> of < 20 .mu.M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 2 of 1-2 series.

IT 388068-62-0P 527734-20-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

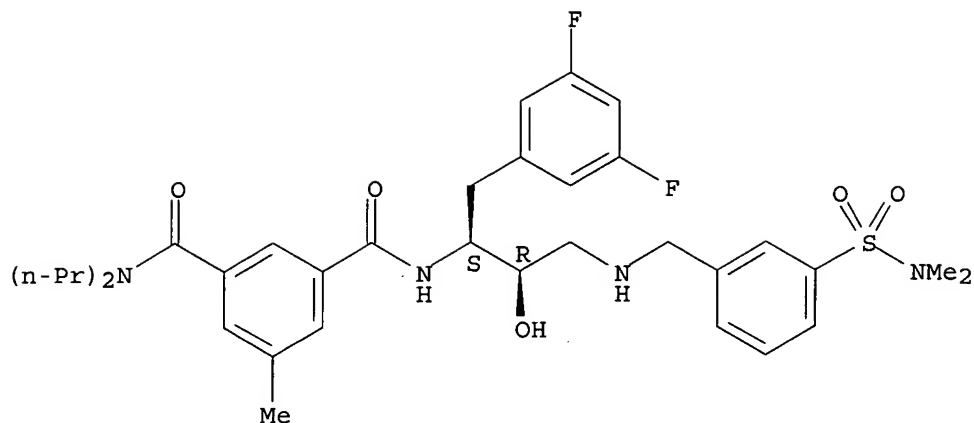
(prepn. of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 388068-62-0 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

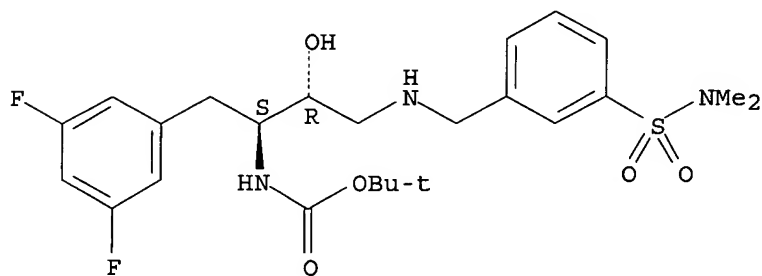




RN 527734-20-9 CAPLUS

CN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl)methyl]amino]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:376819 CAPLUS

DN 138:385173

TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease

IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 1243 pp.

CODEN: PIXXD2

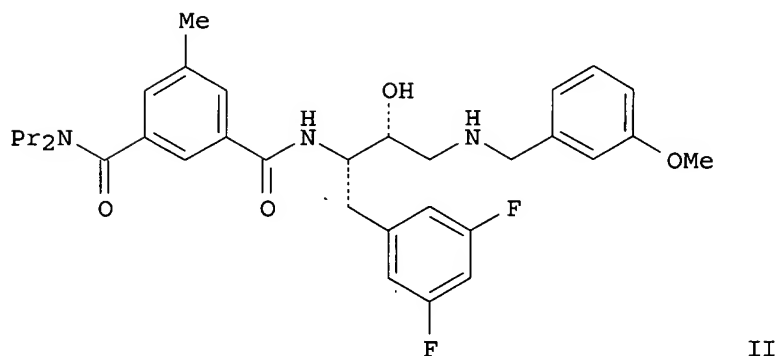
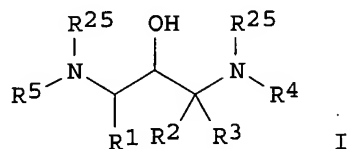
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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NE, SN, TD, TG  
WO 2003040096 A2 20030515 WO 2002-XA36072 20021108  
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US 2001-344086P P 20011228  
US 2002-345635P P 20020103  
WO 2002-US36072 A 20021108  
OS  
GI MARPAT 138:385173



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO<sub>2</sub>, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO<sub>2</sub>, (un)substituted CH<sub>2</sub>; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of .beta.-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepd. E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC<sub>50</sub> of < 20 .mu.M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT 388068-62-0P 527734-20-9P

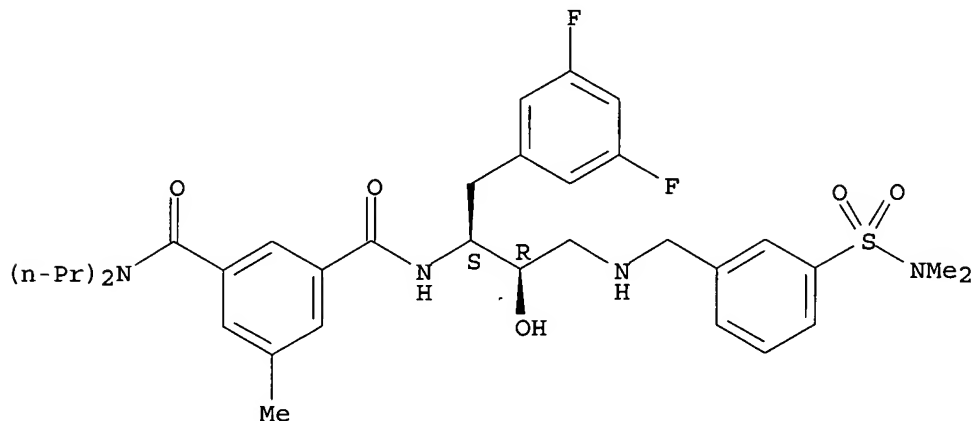
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating

Alzheimer's disease)

RN 388068-62-0 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

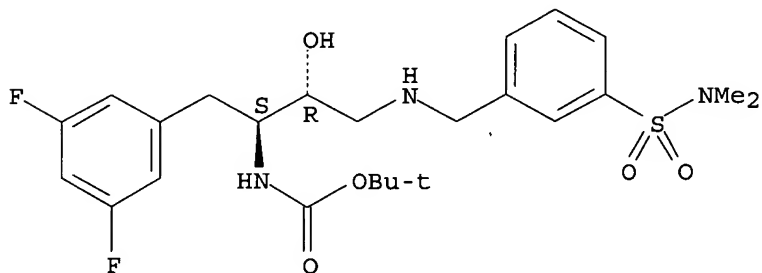
Absolute stereochemistry.



RN 527734-20-9 CAPLUS

CN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl)methyl]amino]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:31402 CAPLUS

DN 136:102190

TI Preparation of substituted amines to treat Alzheimer's disease

IN Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara; Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 651 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002512	A2	20020110	WO 2001-US21012	20010629
	WO 2002002512	A3	20030821		

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KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
 MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
 TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
 MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
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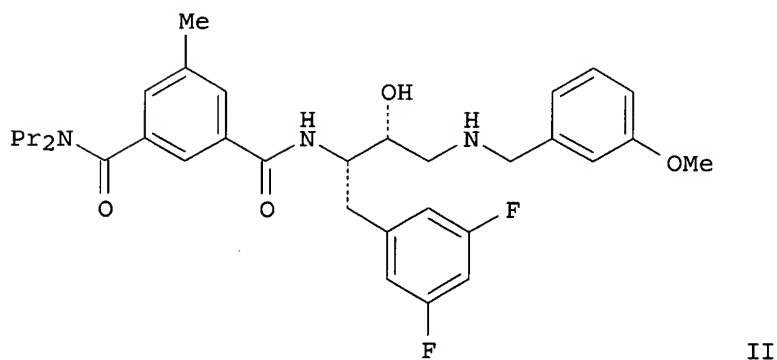
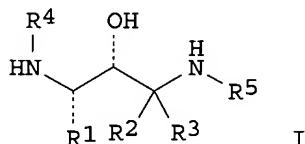
US 2002128255 A1 20020912 US 2001-896139 20010629  
 BR 2001012000 A 20030603 BR 2001-12000 20010629  
 EP 1353898 A2 20031022 EP 2001-952378 20010629

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

NO 2002006199 A 20030221 NO 2002-6199 20021223

PRAI US 2000-215323P P 20000630  
 US 2000-252736P P 20001122  
 US 2000-255956P P 20001215  
 US 2001-268497P P 20010213  
 US 2001-279779P P 20010329  
 US 2001-295589P P 20010604  
 WO 2001-US21012 W 20010629

OS MARPAT 136:102190  
 GI



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepd. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamide in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 .mu.M against beta-secretase.

IT 388068-62-0P

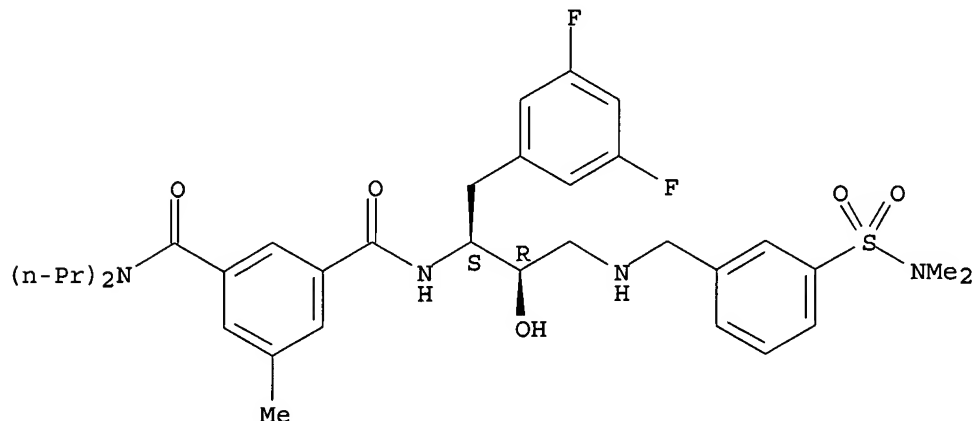
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted amines for treating Alzheimer's disease)

RN 388068-62-0 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[3-[(dimethylamino)sulfonyl]phenyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:730683 CAPLUS

DN 135:288572

TI Preparation of diphenyl ether compounds as serotonin re-uptake inhibitors  
IN Andrews, Mark David; Hepworth, David; Middleton, Donald Stuart; Stobie, Alan

PA Pfizer Limited, UK; Pfizer Inc.

SO PCT Int. Appl., 158 pp.

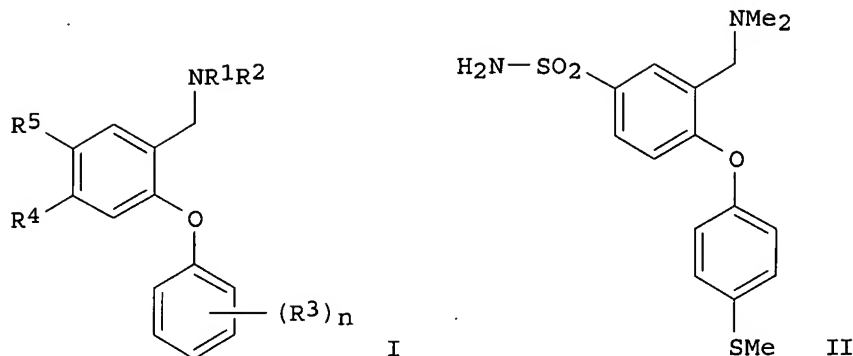
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072687	A1	20011004	WO 2001-IB428	20010319
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002052395	A1	20020502	US 2001-810378	20010316
	US 6448293	B2	20020910		
	EP 1268396	A1	20030102	EP 2001-917347	20010319
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	BR 2001009547	A	20030610	BR 2001-9547	20010319
	NZ 519972	A	20030725	NZ 2001-519972	20010319
	JP 2003528845	T2	20030930	JP 2001-570602	20010319
	BG 106912	A	20030131	BG 2002-106912	20020709
	NO 2002004663	A	20020927	NO 2002-4663	20020927
PRAI	GB 2000-7884	A	20000331		
	US 2000-197127P	P	20000414		
	WO 2001-IB428	W	20010319		
OS	MARPAT 135:288572				
GI					



AB Title compds. I [wherein R1 and R2 = independently H or (cycloalkyl)alkyl; or R1 and R2 together with the N to which they are attached form an azetidinium ring; R3 = independently CF<sub>3</sub>, OCF<sub>3</sub>, alkylthio, or alkoxy; n = 1-3; R4 and R5 = independently AX; A = CH:CH or (CH<sub>2</sub>)<sub>p</sub>; p = 0-2; X = H, halo, OH, alkoxy, NO<sub>2</sub>, CN, CHO, alkylthio, alkylsulfinyl, alkylsulfonyl, or (un)substituted carbamoyl, sulfamoyl, amino, carboxy, etc.; or pharmaceutically acceptable salts, solvates, or polymorphs thereof] were prepd. as monoamine re-uptake inhibitors, particularly as selective serotonin re-uptake inhibitors. For example, 4-(methylmercapto)phenol was coupled with 2-fluorobenzaldehyde using K<sub>2</sub>CO<sub>3</sub> in DMF to give 2-[4-(methylsulfanyl)phenoxy]benzaldehyde (100%). The aldehyde was dissolved in THF, DCM, Me<sub>2</sub>NH.bul.HCl, and TEA, treated with NaBH(OAc)<sub>3</sub>, and converted to the salt with 1M HCl in Et<sub>2</sub>O to afford N,N-dimethyl-N-[2-[4-(methylsulfanyl)phenoxy]benzyl]amine.bul.HCl (84%). Coupling the salt with ClSO<sub>3</sub>H in CH<sub>2</sub>Cl<sub>2</sub> at 0.degree. to 5.degree.C, followed by stepwise addn. of MeCN with POCl<sub>3</sub> and ammonia, produced the desired sulfonamide (II) in 61% yield. The latter showed serotonin re-uptake inhibition (SRI) activity with IC<sub>50</sub> .ltoreq. 50 nM and was > 100-fold as potent in the inhibition of serotonin re-uptake than in the inhibition of dopamine and noradrenaline re-uptake. I are useful in the treatment of disorders such as depression, attention deficit hyperactivity disorder, obsessive-compulsive disorder, post-traumatic stress disorder, substance abuse disorders, and sexual dysfunction, including premature ejaculation (no data).

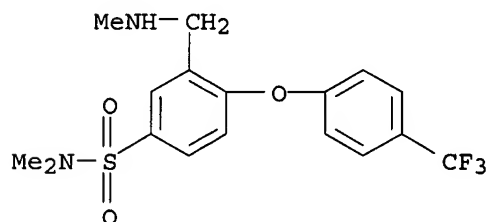
IT 364321-72-2P 364321-89-1P 364321-94-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; **USES** (Uses)

(prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)

RN 364321-72-2 CAPLUS

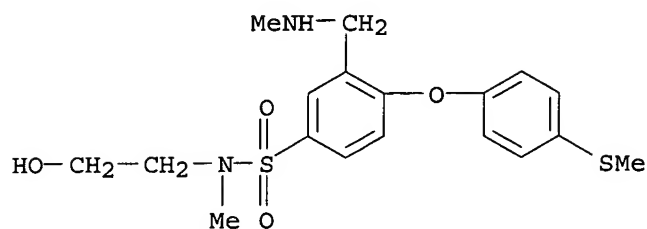
CN Benzenesulfonamide, N,N-dimethyl-3-[(methylamino)methyl]-4-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 364321-89-1 CAPLUS

CN Benzenesulfonamide, N-(2-hydroxyethyl)-N-methyl-3-[(methylamino)methyl]-4-

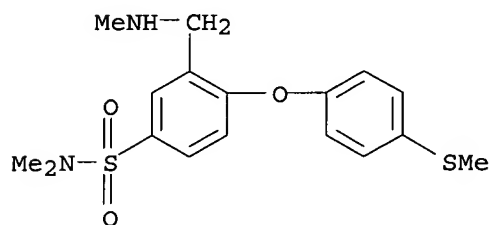
[4-(methylthio)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 364321-94-8 CAPLUS

CN Benzenesulfonamide, N,N-dimethyl-3-[(methylamino)methyl]-4-[4-(methylthio)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:50617 CAPLUS

DN 134:86033

TI Preparation of sulfonamide substituted benzylamine derivatives as calcium channels modulators

IN Milutinovic, Sandra Ginette; Simmonds, Robin George; Tupper, David Edward

PA Eli Lilly and Company Limited, UK

SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001004087	A1	20010118	WO 2000-GB2361	20000615
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	GB 2352240	A1	20010124	GB 1999-16434	19990713
	EP 1200397	A1	20020502	EP 2000-938940	20000615

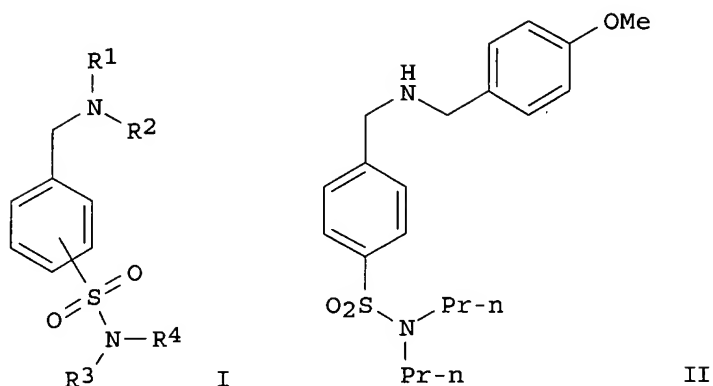
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IE, SI, LT, LV, FI, RO, MK, CY, AL

PRAI GB 1999-16434 A 19990713

WO 2000-GB2361 W 20000615

OS MARPAT 134:86033

GI



AB The title compds. [I; the aminosulfonyl group is attached at the 3- or 4-position; R1 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; R3, R4 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; or R1 and R2, or R3 and R4, together with the nitrogen atom to which they are attached, form (un)substituted carbocyclic group contg. 4-7 carbon atoms optionally contg. an oxygen atom or a further nitrogen atom, and said carbocyclic group being optionally fused to (un)substituted Ph] and their salts, useful in modulating the activity of calcium channels, were prepd. and formulated. E.g., a multi-step synthesis of benzenesulfonamide II as maleate salt was given. The exemplified compds. I are found to inhibit voltage-dependent calcium channels in cloned human cell lines expressing specific voltage-dependent calcium channels with an IC50 of < 10 .mu.M.

IT 317813-45-9P 317813-53-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; **USES**  
(Uses)

(prepn. of sulfonamide substituted benzylamine derivs. as calcium channels modulators)

RN 317813-45-9 CAPLUS

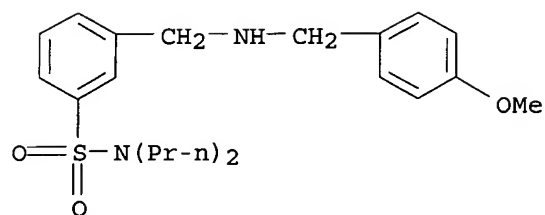
CN Benzenesulfonamide, 3-[[[(4-methoxyphenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 317813-44-8

CMF C21 H30 N2 O3 S



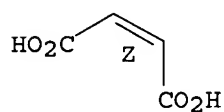


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



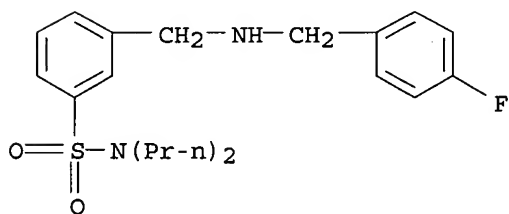
RN 317813-53-9 CAPLUS

CN Benzenesulfonamide, 3-[[[(4-fluorophenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

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CRN 317813-52-8

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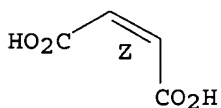


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dis hist

(FILE 'HOME' ENTERED AT 17:37:37 ON 12 NOV 2003)

FILE 'REGISTRY' ENTERED AT 17:37:48 ON 12 NOV 2003

L1               STRUCTURE UPLOADED  
L2               2 S L1 SSS SAM  
L3               10 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:40:01 ON 12 NOV 2003

L4               5 S L3/PREP AND L3/THU

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	26.96	176.52
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-3.26	-3.26

STN INTERNATIONAL LOGOFF AT 17:41:30 ON 12 NOV 2003

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1623kxg

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1           Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2           "Ask CAS" for self-help around the clock  
NEWS 3   SEP 09   CA/CAPLUS records now contain indexing from 1907 to the  
                  present  
NEWS 4   AUG 05   New pricing for EUROPATFULL and PCTFULL effective  
                  August 1, 2003  
NEWS 5   AUG 13   Field Availability (/FA) field enhanced in BEILSTEIN  
NEWS 6   AUG 18   Data available for download as a PDF in RDISCLOSURE  
NEWS 7   AUG 18   Simultaneous left and right truncation added to PASCAL  
NEWS 8   AUG 18   FROSTI and KOSMET enhanced with Simultaneous Left and Right  
                  Truncation  
NEWS 9   AUG 18   Simultaneous left and right truncation added to ANABSTR  
NEWS 10   SEP 22   DIPPR file reloaded  
NEWS 11   SEP 25   INPADOC: Legal Status data to be reloaded  
NEWS 12   SEP 29   DISSABS now available on STN  
NEWS 13   OCT 10   PCTFULL: Two new display fields added

NEWS 14 OCT 21 BIOSIS file reloaded and enhanced  
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced

NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:46:18 ON 12 NOV 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:46:28 ON 12 NOV 2003  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 NOV 2003 HIGHEST RN 615535-77-8  
DICTIONARY FILE UPDATES: 11 NOV 2003 HIGHEST RN 615535-77-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

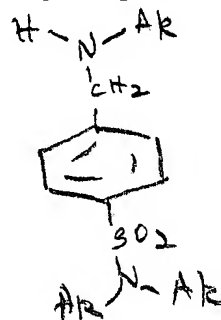
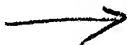
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>  
Uploading 10031122-2.str

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam  
SAMPLE SEARCH INITIATED 17:47:00 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 11187 TO ITERATE

8.9% PROCESSED 1000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 217406 TO 230074  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED - 223890 TO ITERATE

100.0% PROCESSED 223890 ITERATIONS 50 ANSWERS  
SEARCH TIME: 00.00.04

L3 50 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 148.55 148.76

FILE 'CAPLUS' ENTERED AT 17:47:33 ON 12 NOV 2003  
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FILE COVERS 1907 - 12 Nov 2003 VOL 139 ISS 20  
FILE LAST UPDATED: 11 Nov 2003 (20031111/ED)

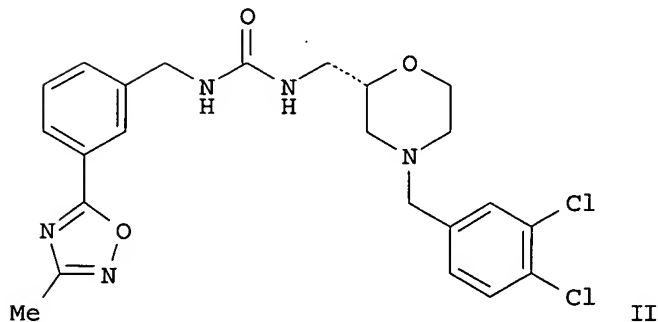
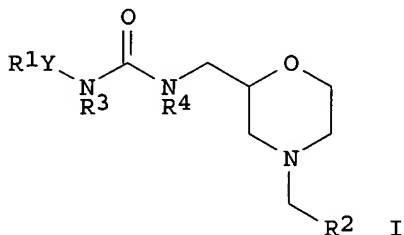
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3/PREP and l3/THU  
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3074300 PREP/RL  
14 L3/PREP  
(L3 (L) PREP/RL)  
27 L3  
549364 THU/RL  
7 L3/THU  
(L3 (L) THU/RL)  
L4 6 L3/PREP AND L3/THU

=> dis l4 1-6 bib abs hitstr

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN  
AN 2003:796494 CAPLUS  
DN 139:307770  
TI Preparation of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions  
IN Anccliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark  
PA Glaxo Group Limited, UK  
SO PCT Int. Appl., 61 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082292	A1	20031009	WO 2003-EP3340	20030327
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	GB 2002-7436	A	20020328		
GI					



AB Title compds. [I; R1 = (substituted) aryl; Y = (CRaRb)n; Ra, Rb = H, alkyl; n = 1-5; R2 = (substituted) aryl, heteroaryl; R3, R4 = H, alkyl], were prepd. Thus, 4-nitrophenyl [(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methylcarbamate, N-hydroxyethanimidamide, NaOEt, and 4.ANG. powd. mol. sieves were refluxed together in EtOH for 5 h to give title compd. (II).

I showed pIC50 = 6.6-9.1 in a CCR3 binding assay.

IT 610799-31-0P

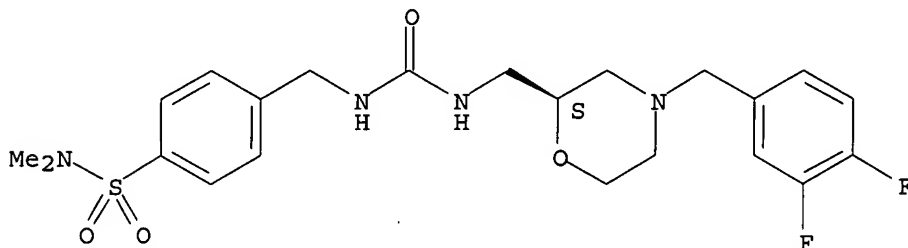
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions)

RN 610799-31-0 CAPLUS

CN Benzenesulfonamide, 4-[[[[[(2S)-4-[(3,4-difluorophenyl)methyl]-2-morpholinyl)methyl]amino]carbonyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:757713 CAPLUS

DN 139:276880

TI Preparation of carbamates as HIV protease inhibitors

IN Ghosh, Arun K.; Bilcer, Geoffrey M.; Devasamudram, Thippeswamy

PA The Board of Trustees of the University of Illinois, USA

SO PCT Int. Appl., 224 pp.

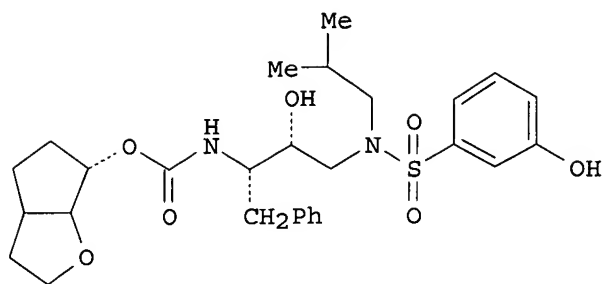
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003078438	A1	20030925	WO 2003-US7032	20030307
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2002-363628P	P	20020312		
	US 2002-433627P	P	20021213		
OS	MARPAT 139:276880				
GI					



I

AB R1O2CNHCH(CH<sub>2</sub>Ph)CH(OH)CHR<sub>4</sub>NR<sub>2</sub>R<sub>3</sub> [R<sub>1</sub> = alkyl, aryl, heterocyclic; R<sub>2</sub> = H, (un)substituted alkyl, NH<sub>2</sub>, heterocyclic, cycloalkyl; R<sub>3</sub> = (un)substituted cyclohexadienylsulfonyl, arylsulfonyl, aroyl, aralkylsulfonyl, heterocyclylsulfonyl, aralkanoyl, heterocyclic, aroylamino, arylsulfonylamino; NR<sub>2</sub>R<sub>3</sub> = heterocyclic; R<sub>4</sub> = H, (un)substituted heterocyclylalkyl] were prepd. for use as HIV protease inhibitors in treating wild-type HIV and of multidrug-resistant strains of HIV. Thus, the carbamate I was prepd. in a multi-step synthesis and has K<sub>i</sub> 2.1 nM for inhibition of HIV protease.

IT 605653-37-0P 605653-43-8P

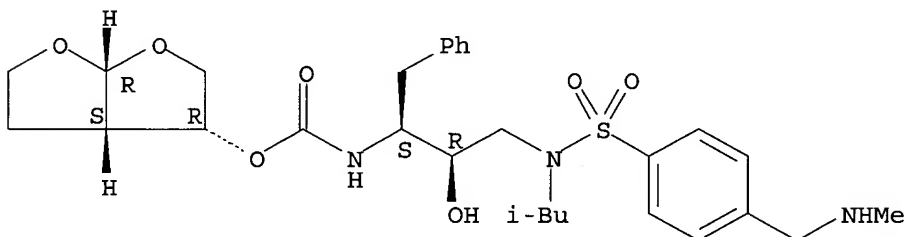
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU** (Therapeutic use); BIOL (Biological study); **PREP** (Preparation); USES (Uses)

(prepn. of carbamates as HIV protease inhibitors)

RN 605653-37-0 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(methylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

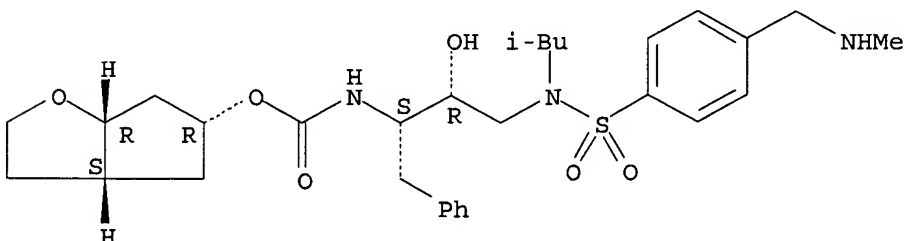
Absolute stereochemistry.



RN 605653-43-8 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(methylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3aS,5R,6aR)-hexahydro-2H-cyclopenta[b]furan-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 2003:282325 CAPLUS  
 DN 138:321285  
 TI Preparation of quinazoline-2,4-diamines as MCH receptor antagonists  
 IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh;  
 Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold  
 PA Taisho Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 1171 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028641	A2	20030410	WO 2002-US31059	20020930
	WO 2003028641	A3	20030828		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2001-326463P	P	20011001		
	US 2001-326758P	P	20011002		
OS	MARPAT 138:321285				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

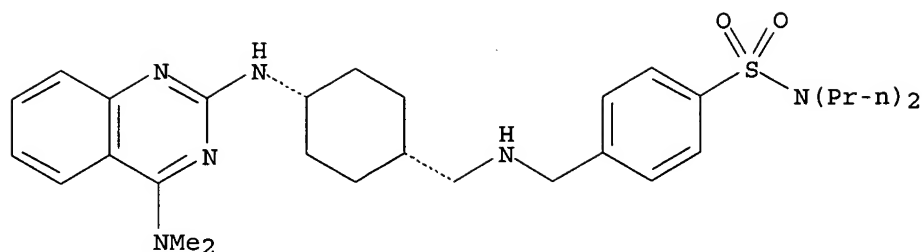
AB The title compds. QLYR1[Q = I, C(:NH)NH<sub>2</sub>; R<sub>1</sub> = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R<sub>4</sub> = H, alkyl; R<sub>5</sub> = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO<sub>2</sub>, CO, (CH<sub>2</sub>)<sub>m</sub>; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)<sub>3</sub> and AcOH in CH<sub>2</sub>Cl<sub>2</sub>, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC<sub>50</sub> of 6 nM against MCH receptor.

IT **510746-98-2P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU** (Therapeutic use); BIOL (Biological study); **PREP** (Preparation); USES (Uses)  
 (prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 510746-98-2 CAPLUS  
 CN Benzenesulfonamide, 4-[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-N,N-dipropyl- (9CI)  
 (CA INDEX NAME)

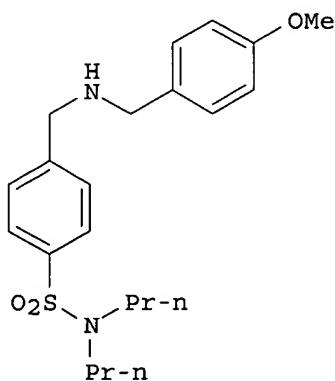
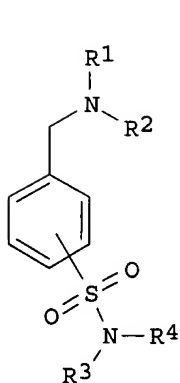
Relative stereochemistry.





L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 2001:50617 CAPLUS  
 DN 134:86033  
 TI Preparation of sulfonamide substituted benzylamine derivatives as calcium channels modulators  
 IN Milutinovic, Sandra Ginette; Simmonds, Robin George; Tupper, David Edward  
 PA Eli Lilly and Company Limited, UK  
 SO PCT Int. Appl., 38 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004087	A1	20010118	WO 2000-GB2361	20000615
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
GB 2352240	A1	20010124	GB 1999-16434	19990713
EP 1200397	A1	20020502	EP 2000-938940	20000615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRAI GB 1999-16434	A	19990713		
WO 2000-GB2361	W	20000615		
OS MARPAT 134:86033				
GI				



AB The title compds. [I; the aminosulfonyl group is attached at the 3- or 4-position; R1 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; R3, R4 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; or R1 and R2, or R3 and R4, together with the nitrogen atom to which they are attached, form (un)substituted carbocyclic group contg. 4-7 carbon atoms optionally contg. an oxygen atom or a further nitrogen atom, and said carbocyclic group being optionally fused to (un)substituted Ph] and their salts, useful in modulating the activity of calcium channels, were prepd. and formulated. E.g., a multi-step synthesis of benzenesulfonamide II as maleate salt was given. The exemplified compds. I are found to inhibit voltage-dependent calcium channels in cloned human cell lines expressing specific voltage-dependent calcium channels with an IC50 of < 10 .mu.M.

IT 317813-43-7P 317813-47-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. of sulfonamide substituted benzylamine derivs. as calcium channels modulators)

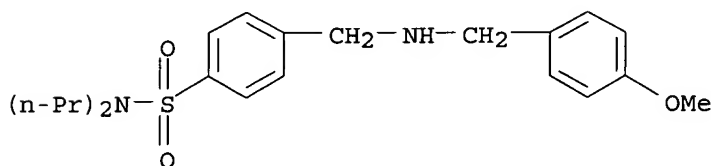
RN 317813-43-7 CAPLUS

CN Benzenesulfonamide, 4-[[[(4-methoxyphenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 317813-42-6

CMF C21 H30 N2 O3 S

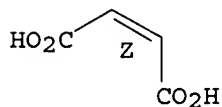


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



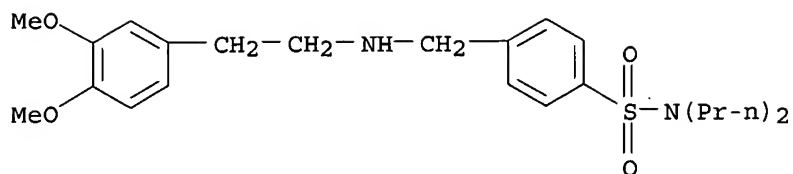
RN 317813-47-1 CAPLUS

CN Benzenesulfonamide, 4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 317813-46-0

CMF C23 H34 N2 O4 S

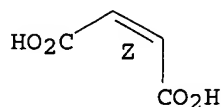


CM 2

CRN 110-16-7

CMF C4 H4 O4

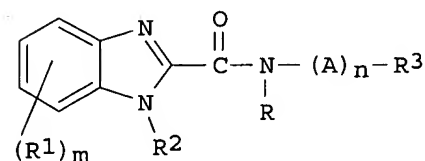
Double bond geometry as shown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN  
AN 1998:430666 CAPLUS  
DN 129:144858  
TI cGMP phosphodiesterase inhibitors containing benzimidazole derivatives  
IN Nishi, Takao; Sato, Seiji; Kinohara, Yoshito; Eitani, Takeshi; Yukawa, Hirotsuka; Koga, Nobuyuki  
PA Otsuka Pharmaceutical Co., Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 92 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10182459	A2	19980707	JP 1996-347124	19961226
PRAI	JP 1996-347124		19961226		
OS	MARPAT 129:144858				
GI					



I

AB The inhibitors, useful for treatment of atherosclerotic diseases such as cardiac infarction, cerebral infarction, etc., and restenosis after PTCA, vascular stenting, and atherectomy, contain benzimidazole derivs. I [R = H, lower alkyl; R1 = H, lower alkoxy, halo, carbamoyl; m = 1, 2; R2 = phenyl-lower alkyl in which Ph group may be substituted with cyano, lower alkoxy; thienyl-lower alkyl, benzofuryl-lower alkyl in which benzofuran ring may be substituted with lower alkyl; lower alkenyl, lower alkoxy-lower alkyl, cycloalkyl-lower alkyl, cycloalkenyl-lower alkyl; A = lower alkylene, OB (B = lower alkylene); n = 0, 1; R3 = Ph which may have 1-3 substituents] or their salts. IC50 of I (R1 = H, R2 = CH2Ph, A = CH2,

m = n = 1, R3 = C6H4OMe-3) against cGMP phosphodiesterase was 0.06 .mu.M. Inhibitory action of I against FBS-stimulated growth of rat aortic smooth muscle cell line A10 was also shown. Pharmaceutical prepn. contg. I were also given.

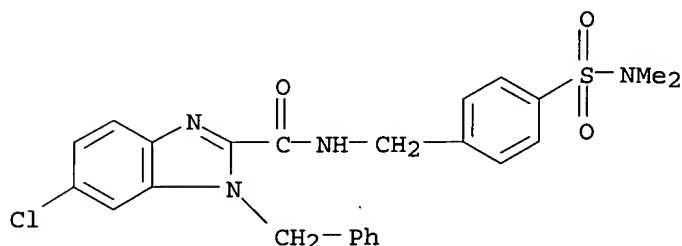
IT 210919-49-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as cGMP phosphodiesterase inhibitors for treatment of atherosclerotic diseases)

RN 210919-49-6 CAPLUS

CN 1H-Benzimidazole-2-carboxamide, 6-chloro-N-[[4-[(dimethylamino)sulfonyl]phenyl]methyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:303430 CAPLUS

DN 126:277394

TI Preparation of acridone compounds as drugs

IN Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto; Takashima, Yoshihiro; Moriya, Katsuhiko; Sakuma, Yoshinori; Yamada, Koji; Harada, Kokichi; Nishizawa, Yukio; Kobayashi, Seiichi; Okita, Makoto; Katayama, Koichi; et al.

PA Eisai Co., Ltd., Japan; Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto

SO PCT Int. Appl., 87 pp.

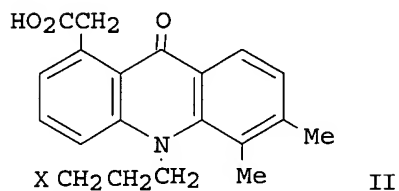
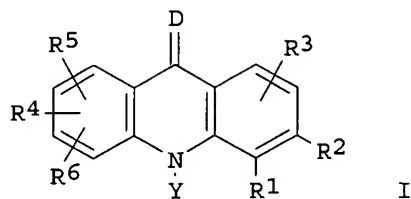
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9712872	A1	19970410	WO 1996-JP2880	19961003
	W: AU, CA, CN, HU, KR, NO, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2232990	AA	19970410	CA 1995-2232990	19951002
	JP 09249650	A2	19970922	JP 1996-261669	19961002
	CA 2233643	AA	19970410	CA 1996-2233643	19961003
	AU 9671453	A1	19970428	AU 1996-71453	19961003
	EP 857721	A1	19980812	EP 1996-932811	19961003
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	JP 1995-257944		19951004		
	JP 1995-301570		19951120		
	JP 1995-317867		19951206		
	JP 1995-317868		19951206		
	JP 1996-1339		19960109		
	JP 1996-1340		19960109		
	WO 1996-JP2880		19961003		
OS	MARPAT 126:277394				
GI					



AB The title compds. [I; R1-R6 = H, OH, halo, lower alkyl or alkoxy, cycloalkyl, etc.; Y = (CH<sub>2</sub>)<sub>p</sub>(B)<sub>m</sub>(CH<sub>2</sub>)<sub>n</sub>Z; m = 0-1; p, n = 0-6; B = lower alkylene, optionally substituted arylene, etc.; Z = cyano, optionally protected carboxy, acyl, NR<sub>7</sub>R<sub>8</sub>; R<sub>7</sub>, R<sub>8</sub> = H, lower alkyl or alkoxy, hydroxyalkyl, etc.; D = O, S] and pharmacol. acceptable salts thereof are prepd. I are useful in the prevention and treatment of diseases in which chem. transmitters (histamine, leukotriene, etc.) participate, typified by asthma, allergic rhinitis, atopic dermatitis, urticaria, hay fever, digestive tract allergy, food allergy, etc. Thus, acridone deriv. (II; X = NH<sub>2</sub>) was refluxed with C<sub>6</sub>H<sub>4</sub>CHO in EtOH and then treated with NaBH<sub>4</sub> to give the title compd. II (X = C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NH), which showed IC<sub>50</sub> of 3 .mu.M against serotonin releasing when tested on rat RBL-2H3 cells.

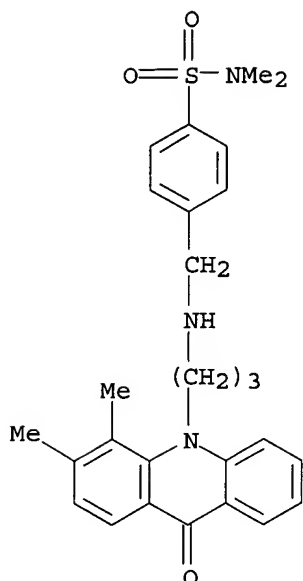
IT **189009-07-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. of acridone compds. as drugs)

RN 189009-07-2 CAPLUS

CN Benzenesulfonamide, 4-[[[3-(3,4-dimethyl-9-oxo-10(9H)-acridinyl)propyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



=> s 13 and (nervous or system or disorder or CNS)

27 L3

167994 NERVOUS

1915601 SYSTEM

1049871 SYSTEMS

2591172 SYSTEM

(SYSTEM OR SYSTEMS)

227122 DISORDER

131156 DISORDERS

324183 DISORDER

(DISORDER OR DISORDERS)

28941 CNS

L5 2 L3 AND (NERVOUS OR SYSTEM OR DISORDER OR CNS)

=> dis 15 1-2 bib abs hitstr

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:282325 CAPLUS

DN 138:321285

TI Preparation of quinazoline-2,4-diamines as MCH receptor antagonists

IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold

PA Taisho Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 1171 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028641	A2	20030410	WO 2002-US31059	20020930
	WO 2003028641	A3	20030828		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-326463P P 20011001  
 US 2001-326758P P 20011002  
 OS MARPAT 138:321285  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. QLYR1[Q = I, C(:NH)NH<sub>2</sub>; R<sub>1</sub> = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R<sub>4</sub> = H, alkyl; R<sub>5</sub> = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO<sub>2</sub>, CO, (CH<sub>2</sub>)<sub>m</sub>; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)<sub>3</sub> and AcOH in CH<sub>2</sub>Cl<sub>2</sub>, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC<sub>50</sub> of 6 nM against MCH receptor.

IT 510746-98-2P

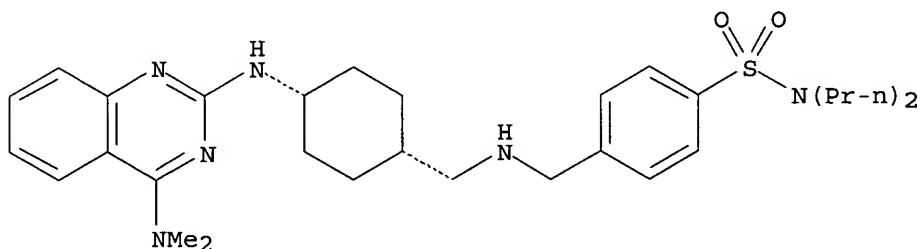
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 510746-98-2 CAPLUS

CN Benzenesulfonamide, 4-[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-N,N-dipropyl- (9CI)  
 (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1989:589437 CAPLUS

DN 111:189437

TI A comparison of positive ion and negative ion fast atom bombardment mass spectral data for some sulfonyl hydrazones and derivatives

AU New, A. P.; Haskins, N. J.; Frearson, M. J.

CS SK and F Res. Ltd., Welwyn/Herts, AL6 9AR, UK

SO Biomedical & Environmental Mass Spectrometry (1989), Volume Date 1988, 18(8), 620-3

CODEN: BEMSEN; ISSN: 0887-6134

DT Journal

LA English

AB A no. of sulfonyl hydrazones and derivs. have been synthesized and tested for biol. activity as pesticides during the crop protection research program at the Hatfield Polytechnic. A comparative ionization study of

some of these compds. using electron impact (EI), fast atom bombardment (FAB) and various chem. ionization methods showed FAB mass spectrometry to be the optimum technique to use in terms of mol. wt. information obtained. FAB mass spectral data were compared in pos. and neg. ion mode using an alternating pos. and neg. ion detection **system**.

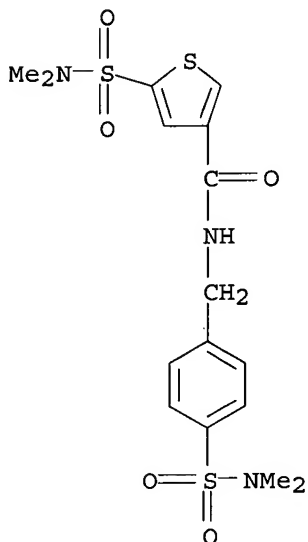
IT 123297-65-4

RL: PRP (Properties)

(mass spectra of, pos. ion and neg. ion fast atom bombardment, comparison of)

RN 123297-65-4 CAPLUS

CN 3-Thiophenecarboxamide, 5-[(dimethylamino)sulfonyl]-N-[[4-[(dimethylamino)sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



=> dis hist

(FILE 'HOME' ENTERED AT 17:46:18 ON 12 NOV 2003)

FILE 'REGISTRY' ENTERED AT 17:46:28 ON 12 NOV 2003

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 50 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:47:33 ON 12 NOV 2003

L4 6 S L3/PREP AND L3/THU

L5 2 S L3 AND (NERVOUS OR SYSTEM OR DISORDER OR CNS)

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

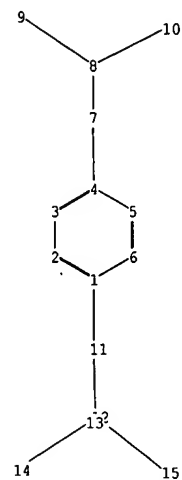
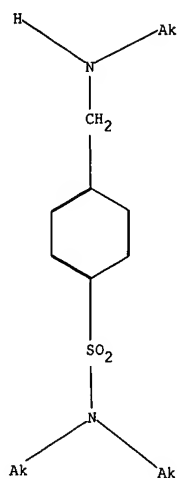
SINCE FILE  
ENTRY

TOTAL  
SESSION



FULL ESTIMATED COST	48.71	197.47
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-5.21	-5.21

STN INTERNATIONAL LOGOFF AT 17:50:41 ON 12 NOV 2003



chain nodes :

7 8 9 10 11 12 13 14 15

ring nodes :

1 2 3 4 5 6

chain bonds :

1-11 4-7 7-8 8-9 8-10 11-12 12-15 13-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

8-10 11-12 12-15 13-14

exact bonds :

1-11 4-7 7-8 8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS

Connecting via Winsock to STN

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LOGINID:ssspta1623kxg

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 3 SEP 09 CA/CAPlus records now contain indexing from 1907 to the  
present  
NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective  
August 1, 2003  
NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN  
NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE  
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL  
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right  
Truncation  
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR  
NEWS 10 SEP 22 DIPPR file reloaded  
NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded  
NEWS 12 SEP 29 DISSABS now available on STN  
NEWS 13 OCT 10 PCTFULL: Two new display fields added  
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced  
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced  
  
NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003  
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FILE 'HOME' ENTERED AT 17:46:18 ON 12 NOV 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 17:46:28 ON 12 NOV 2003

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STRUCTURE FILE UPDATES: 11 NOV 2003 HIGHEST RN 615535-77-8  
DICTIONARY FILE UPDATES: 11 NOV 2003 HIGHEST RN 615535-77-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNote 27, Searching Properties  
in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>  
Uploading 10031122-2.str

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 11187 TO ITERATE

8.9% PROCESSED 1000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 217406 TO 230074  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full  
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FULL SCREEN SEARCH COMPLETED - 223890 TO ITERATE

100.0% PROCESSED 223890 ITERATIONS 50 ANSWERS  
SEARCH TIME: 00.00.04

L3 50 SEA SSS FUL L1

=> file caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	148.55	148.76

FILE 'CAPLUS' ENTERED AT 17:47:33 ON 12 NOV 2003  
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FILE COVERS 1907 - 12 Nov 2003 VOL 139 ISS 20  
FILE LAST UPDATED: 11 Nov 2003 (20031111/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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27 L3  
3074300 PREP/RL  
14 L3/PREP  
(L3 (L) PREP/RL)  
27 L3  
549364 THU/RL  
7 L3/THU  
(L3 (L) THU/RL)  
L4 6 L3/PREP AND L3/THU

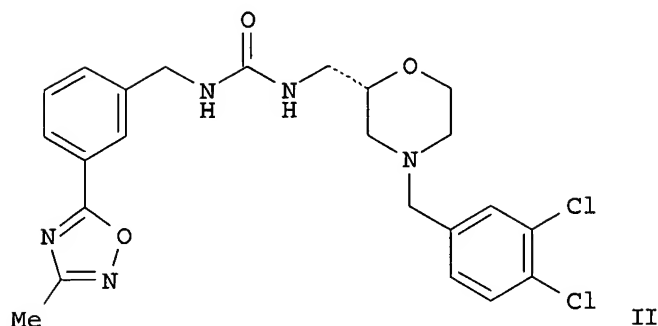
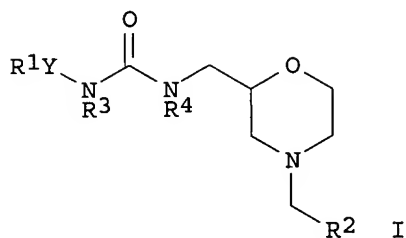
=> dis l4 1-6 bib abs hitstr

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN  
AN 2003:796494 CAPLUS  
DN 139:307770  
TI Preparation of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions  
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark  
PA Glaxo Group Limited, UK  
SO PCT Int. Appl., 61 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082292	A1	20031009	WO 2003-EP3340	20030327
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,				

NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG

PRAI GB 2002-7436 A 20020328  
GI



AB Title compds. [I; R1 = (substituted) aryl; Y = (CRaRb)n; Ra, Rb = H, alkyl; n = 1-5; R2 = (substituted) aryl, heteroaryl; R3, R4 = H, alkyl], were prepd. Thus, 4-nitrophenyl [(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methylcarbamate, N-hydroxyethanimidamide, NaOEt, and 4.ANG. powd. mol. sieves were refluxed together in EtOH for 5 h to give title compd. (II). I showed pIC50 = 6.6-9.1 in a CCR3 binding assay.

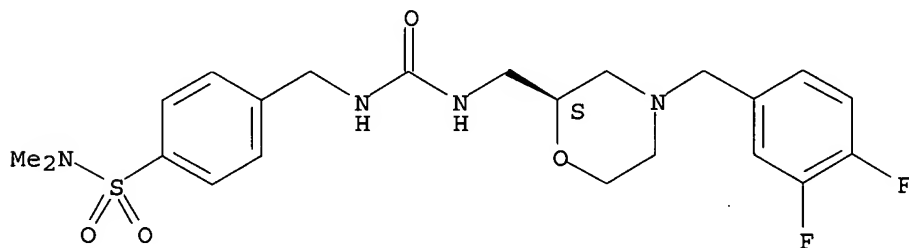
IT 610799-31-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions)

RN 610799-31-0 CAPLUS

CN Benzenesulfonamide, 4-[[[[(2S)-4-[(3,4-difluorophenyl)methyl]-2-morpholinyl]methyl]amino]carbonyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

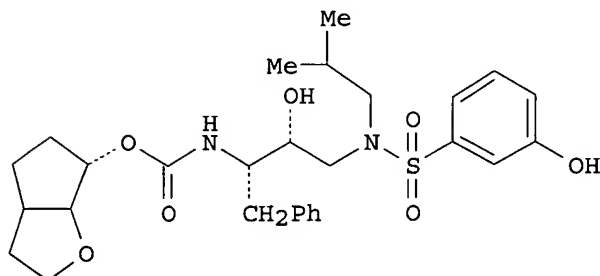
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:757713 CAPLUS  
 DN 139:276880  
 TI Preparation of carbamates as HIV protease inhibitors  
 IN Ghosh, Arun K.; Bilcer, Geoffrey M.; Devasamudram, Thippeswamy  
 PA The Board of Trustees of the University of Illinois, USA  
 SO PCT Int. Appl., 224 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003078438	A1	20030925	WO 2003-US7032	20030307
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2002-363628P	P	20020312		
	US 2002-433627P	P	20021213		
OS	MARPAT 139:276880				
GI					



I

AB R1O2CNHCH(CH<sub>2</sub>Ph)CH(OH)CHR<sub>4</sub>NR<sub>2</sub>R<sub>3</sub> [R<sub>1</sub> = alkyl, aryl, heterocyclic; R<sub>2</sub> = H, (un)substituted alkyl, NH<sub>2</sub>, heterocyclic, cycloalkyl; R<sub>3</sub> = (un)substituted cyclohexadienylsulfonyl, arylsulfonyl, aroyl, aralkylsulfonyl, heterocyclylsulfonyl, aralkanoyl, heterocyclic, aroylamino, arylsulfonylamino; NR<sub>2</sub>R<sub>3</sub> = heterocyclic; R<sub>4</sub> = H, (un)substituted heterocyclylalkyl] were prepd. for use as HIV protease inhibitors in treating wild-type HIV and of multidrug-resistant strains of HIV. Thus, the carbamate I was prepd. in a multi-step synthesis and has K<sub>i</sub> 2.1 nM for inhibition of HIV protease.

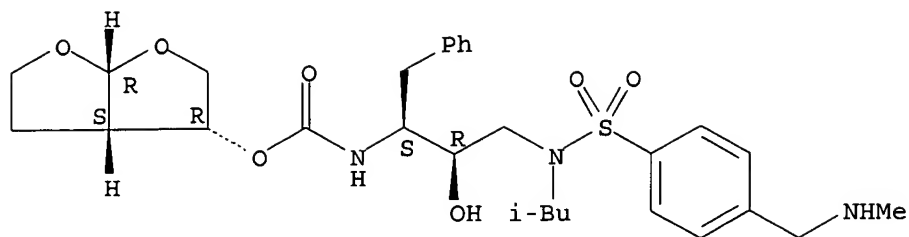
IT 605653-37-0P 605653-43-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of carbamates as HIV protease inhibitors)

RN 605653-37-0 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(methylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

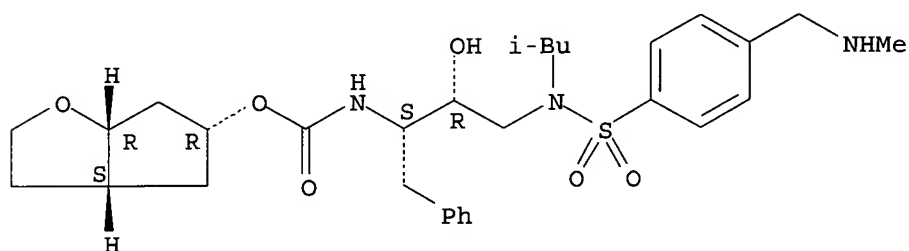
Absolute stereochemistry.



RN 605653-43-8 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[(methylamino)methyl]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3aS,5R,6aR)-hexahydro-2H-cyclopenta[b]furan-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:282325 CAPLUS

DN 138:321285

TI Preparation of quinazoline-2,4-diamines as MCH receptor antagonists

IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold

PA Taisho Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 1171 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003028641	A2	20030410	WO 2002-US31059	20020930
WO 2003028641	A3	20030828		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2001-326463P P 20011001

US 2001-326758P P 20011002

OS MARPAT 138:321285

GI



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. QLYR1[Q = I, C(:NH)NH<sub>2</sub>; R<sub>1</sub> = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R<sub>4</sub> = H, alkyl; R<sub>5</sub> = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO<sub>2</sub>, CO, (CH<sub>2</sub>)<sub>m</sub>; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)<sub>3</sub> and AcOH in CH<sub>2</sub>Cl<sub>2</sub>, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC<sub>50</sub> of 6 nM against MCH receptor.

IT 510746-98-2P

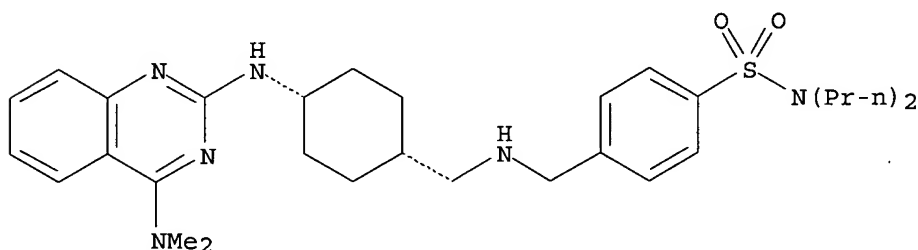
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 510746-98-2 CAPLUS

CN Benzenesulfonamide, 4-[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-N,N-dipropyl- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:50617 CAPLUS

DN 134:86033

TI Preparation of sulfonamide substituted benzylamine derivatives as calcium channels modulators

IN Milutinovic, Sandra Ginette; Simmonds, Robin George; Tupper, David Edward

PA Eli Lilly and Company Limited, UK

SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

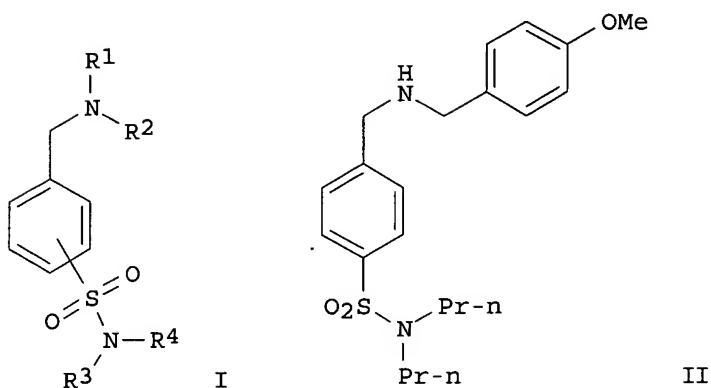
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PI	WO 2001004087	A1	20010118	WO 2000-GB2361	20000615
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

GB 2352240 A1 20010124 GB 1999-16434 19990713  
 EP 1200397 A1 20020502 EP 2000-938940 20000615

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL

PRAI GB 1999-16434 A 19990713  
 WO 2000-GB2361 W 20000615

OS MARPAT 134:86033  
 GI



AB The title compds. [I; the aminosulfonyl group is attached at the 3- or 4-position; R1 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; R3, R4 = alkyl, cycloalkyl, cycloalkylalkyl, etc.; or R1 and R2, or R3 and R4, together with the nitrogen atom to which they are attached, form (un)substituted carbocyclic group contg. 4-7 carbon atoms optionally contg. an oxygen atom or a further nitrogen atom, and said carbocyclic group being optionally fused to (un)substituted Ph] and their salts, useful in modulating the activity of calcium channels, were prepd. and formulated. E.g., a multi-step synthesis of benzenesulfonamide II as maleate salt was given. The exemplified compds. I are found to inhibit voltage-dependent calcium channels in cloned human cell lines expressing specific voltage-dependent calcium channels with an IC50 of < 10 .mu.M.

IT 317813-43-7P 317813-47-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. of sulfonamide substituted benzylamine derivs. as calcium channels modulators)

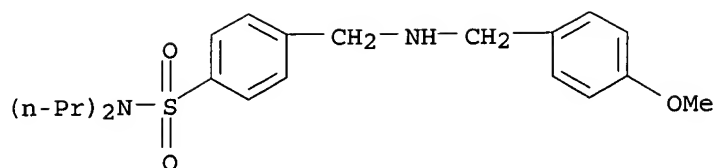
RN 317813-43-7 CAPLUS

CN Benzenesulfonamide, 4-[[[(4-methoxyphenyl)methyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

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CRN 317813-42-6

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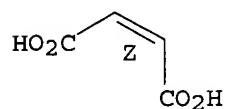


CM 2

CRN 110-16-7

CMF C4 H4 O4

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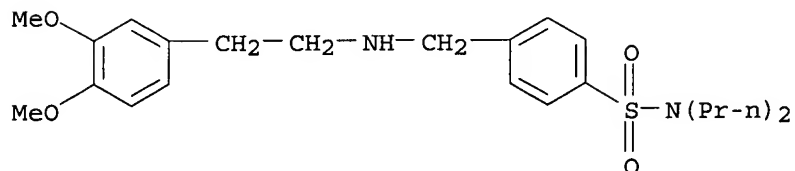
RN 317813-47-1 CAPLUS

CN Benzenesulfonamide, 4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]methyl]-N,N-dipropyl-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 317813-46-0

CMF C23 H34 N2 O4 S

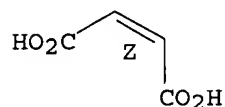


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:430666 CAPLUS

DN 129:144858

TI cGMP phosphodiesterase inhibitors containing benzimidazole derivatives

IN Nishi, Takao; Sato, Seiji; Kinohara, Yoshito; Eitani, Takeshi; Yukawa, Hiroataka; Koga, Nobuyuki

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 92 pp.

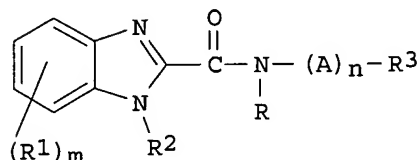
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10182459	A2	19980707	JP 1996-347124	19961226
PRAI	JP 1996-347124		19961226		
OS	MARPAT 129:144858				
GI					



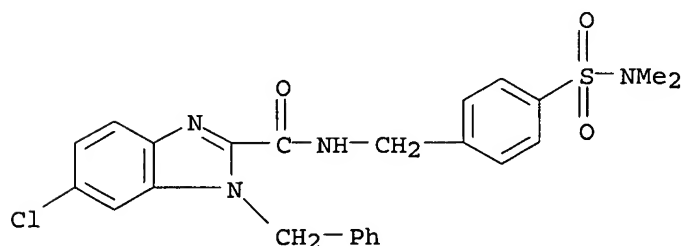
AB The inhibitors, useful for treatment of atherosclerotic diseases such as cardiac infarction, cerebral infarction, etc., and restenosis after PTCA, vascular stenting, and atherectomy, contain benzimidazole derivs. I [R = H, lower alkyl; R1 = H, lower alkoxy, halo, carbamoyl; m = 1, 2; R2 = phenyl-lower alkyl in which Ph group may be substituted with cyano, lower alkoxy; thienyl-lower alkyl, benzofuryl-lower alkyl in which benzofuran ring may be substituted with lower alkyl; lower alkenyl, lower alkoxy-lower alkyl, cycloalkyl-lower alkyl, cycloalkenyl-lower alkyl; A = lower alkylene, OB (B = lower alkylene); n = 0, 1; R3 = Ph which may have 1-3 substituents] or their salts. IC50 of I (R1 = H, R2 = CH2Ph, A = CH2, m = n = 1, R3 = C6H4OMe-3) against cGMP phosphodiesterase was 0.06 .mu.M. Inhibitory action of I against FBS-stimulated growth of rat aortic smooth muscle cell line A10 was also shown. Pharmaceutical prepn. contg. I were also given.

IT 210919-49-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); **THU** (Therapeutic use); BIOL (Biological study); **PREP** (Preparation); USES (Uses)  
(prepn. of benzimidazole derivs. as cGMP phosphodiesterase inhibitors for treatment of atherosclerotic diseases)

RN 210919-49-6 CAPLUS

CN 1H-Benzimidazole-2-carboxamide, 6-chloro-N-[[4-[(dimethylamino)sulfonyl]phenyl]methyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



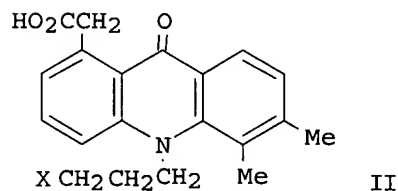
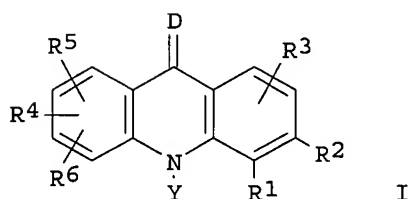
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:303430 CAPLUS

DN 126:277394

TI Preparation of acridone compounds as drugs  
 IN Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto;  
 Takashima, Yoshihiro; Moriya, Katsuhiko; Sakuma, Yoshinori; Yamada, Koji;  
 Harada, Kokichi; Nishizawa, Yukio; Kobayashi, Seiichi; Okita, Makoto;  
 Katayama, Koichi; et al.  
 PA Eisai Co., Ltd., Japan; Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato,  
 Keizo; Kaino, Makoto  
 SO PCT Int. Appl., 87 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9712872	A1	19970410	WO 1996-JP2880	19961003
	W: AU, CA, CN, HU, KR, NO, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2232990	AA	19970410	CA 1995-2232990	19951002
	JP 09249650	A2	19970922	JP 1996-261669	19961002
	CA 2233643	AA	19970410	CA 1996-2233643	19961003
	AU 9671453	A1	19970428	AU 1996-71453	19961003
	EP 857721	A1	19980812	EP 1996-932811	19961003
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	JP 1995-257944		19951004		
	JP 1995-301570		19951120		
	JP 1995-317867		19951206		
	JP 1995-317868		19951206		
	JP 1996-1339		19960109		
	JP 1996-1340		19960109		
	WO 1996-JP2880		19961003		
OS	MARPAT 126:277394				
GI					



AB The title compds. [I; R1-R6 = H, OH, halo, lower alkyl or alkoxy,  
 cycloalkyl, etc.; Y = (CH2)<sub>p</sub>(B)<sub>m</sub>(CH2)<sub>n</sub>Z; m = 0-1; p, n = 0-6; B = lower  
 alkylene, optionally substituted arylene, etc.; Z = cyano, optionally  
 protected carboxy, acyl, NR7R8; R7, R8 = H, lower alkyl or alkoxy,

hydroxyalkyl, etc.; D = O, S] and pharmacol. acceptable salts thereof are prepd. I are useful in the prevention and treatment of diseases in which chem. transmitters (histamine, leukotriene, etc.) participate, typified by asthma, allergic rhinitis, atopic dermatitis, urticaria, hay fever, digestive tract allergy, food allergy, etc. Thus, acridone deriv. (II; X = NH<sub>2</sub>) was refluxed with C<sub>6</sub>H<sub>4</sub>CHO in EtOH and then treated with NaBH<sub>4</sub> to give the title compd. II (X = C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NH), which showed IC<sub>50</sub> of 3 .mu.M against serotonin releasing when tested on rat RBL-2H3 cells.

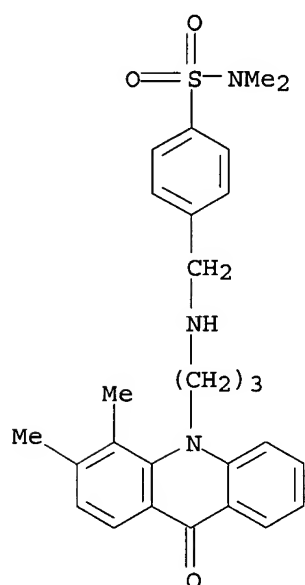
IT 189009-07-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. of acridone compds. as drugs)

RN 189009-07-2 CAPLUS

CN Benzenesulfonamide, 4-[[[3-(3,4-dimethyl-9-oxo-10(9H)-acridinyl)propyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



=> s 13 and (nervous or system or disorder or CNS)

27 L3

167994 NERVOUS

1915601 SYSTEM

1049871 SYSTEMS

2591172 SYSTEM

(SYSTEM OR SYSTEMS)

227122 DISORDER

131156 DISORDERS

324183 DISORDER

(DISORDER OR DISORDERS)

28941 CNS

L5 2 L3 AND (NERVOUS OR SYSTEM OR DISORDER OR CNS)

=> dis 15 1-2 bib abs hitstr

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:282325 CAPLUS

DN 138:321285

TI Preparation of quinazoline-2,4-diamines as MCH receptor antagonists

IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh;  
 Krammer, Bryan Aubrey; Beeley, Nigel Robert Arnold  
 PA Taisho Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 1171 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028641	A2	20030410	WO 2002-US31059	20020930
	WO 2003028641	A3	20030828		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2001-326463P	P	20011001		
	US 2001-326758P	P	20011002		
OS	MARPAT 138:321285				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. QLYR1[Q = I, C(:NH)NH<sub>2</sub>; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO<sub>2</sub>, CO, (CH<sub>2</sub>)<sub>m</sub>; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)<sub>3</sub> and AcOH in CH<sub>2</sub>Cl<sub>2</sub>, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC<sub>50</sub> of 6 nM against MCH receptor.

IT 510746-98-2P

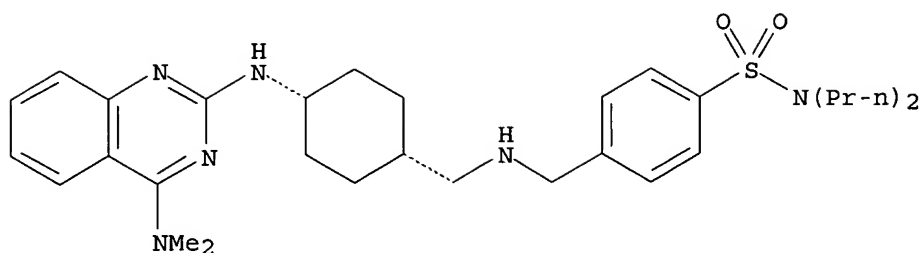
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)

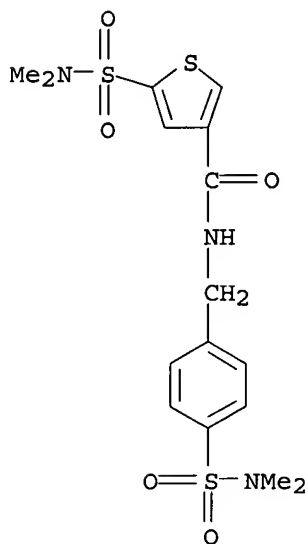
RN 510746-98-2 CAPLUS

CN Benzenesulfonamide, 4-[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-N,N-dipropyl- (9CI)  
 (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1989:589437 CAPLUS  
 DN 111:189437  
 TI A comparison of positive ion and negative ion fast atom bombardment mass spectral data for some sulfonyl hydrazones and derivatives  
 AU New, A. P.; Haskins, N. J.; Frearson, M. J.  
 CS SK and F Res. Ltd., Welwyn/Herts, AL6 9AR, UK  
 SO Biomedical & Environmental Mass Spectrometry (1989), Volume Date 1988, 18(8), 620-3  
 CODEN: BEMSEN; ISSN: 0887-6134  
 DT Journal  
 LA English  
 AB A no. of sulfonyl hydrazones and derivs. have been synthesized and tested for biol. activity as pesticides during the crop protection research program at the Hatfield Polytechnic. A comparative ionization study of some of these compds. using electron impact (EI), fast atom bombardment (FAB) and various chem. ionization methods showed FAB mass spectrometry to be the optimum technique to use in terms of mol. wt. information obtained. FAB mass spectral data were compared in pos. and neg. ion mode using an alternating pos. and neg. ion detection **system**.  
 IT 123297-65-4  
 RL: PRP (Properties)  
 (mass spectra of, pos. ion and neg. ion fast atom bombardment, comparison of)  
 RN 123297-65-4 CAPLUS  
 CN 3-Thiophenecarboxamide, 5-[(dimethylamino)sulfonyl]-N-[[4-[(dimethylamino)sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)





=> dis hist

(FILE 'HOME' ENTERED AT 17:46:18 ON 12 NOV 2003)

FILE 'REGISTRY' ENTERED AT 17:46:28 ON 12 NOV 2003

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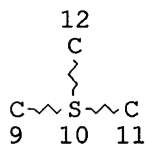
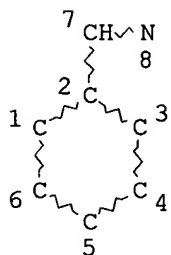
L2               0 S L1 SSS SAM

L3               50 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:47:33 ON 12 NOV 2003

L4               6 S L3/PREP AND L3/THU

L5               2 S L3 AND (NERVOUS OR SYSTEM OR DISORDER OR CNS)

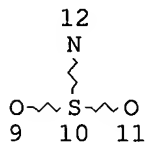
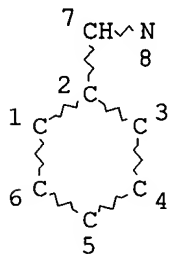


:nod 9 11 o,12 n,vap 10-4/5

ELEMENT AND NODE NOT VALID

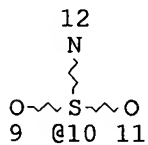
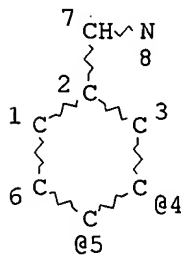
Both the element symbol and a node number are not valid. Enter "DIS" to look at the structure. Enter "HELP NODE" for more information.

:dis



:vpa 10-4/5

:dis



VPA 10-4/5 U

=> dis his

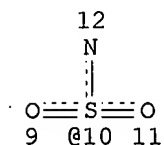
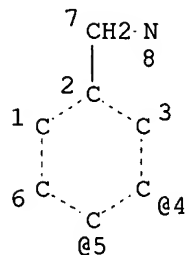
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FILE 'REGISTRY' ENTERED AT 16:55:43 ON 12 NOV 2003

L1 STR  
L2 11 S L1  
L3 2788 S L1 FUL

=> d l3 que stat

L1 STR



VPA 10-4/5 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L3 2788 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 206626 ITERATIONS

2788 ANSWERS

SEARCH TIME: 00.00.02

=>